

CLAIMS

1. A compound, and pharmaceutically acceptable salts, having the formula I:

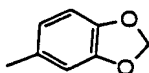


wherein:

- R represents an alkyl or alkynyl group having 1-4 carbon atoms, or a phenyl group optionally substituted by C₁₋₄ alkyl, alkylthio, alkoxy, halogen, nitro, acylamino, methylsulfonyl or methylenedioxy, or represents tetrahydronaphthyl,
- R¹ represents hydrogen, trifluoro (C₁₋₄) alkyl, alkyl or alkynyl,
- X represents hydrogen, alkyl having 1-4 carbon atoms, alkoxy, trifluoroalkyl, hydroxy, halogen, methylthio or aralkoxy,
- R² represents:
 - a C1-C10 alkyl group,
 - a phenyl group optionally substituted by one or more of the following groups:
 - a C1-C10 alkyl group,
 - a halogen group,
 - a nitro group,
 - hydroxy group,
 - and/or an alkoxy group.

The compound

2. ~~Compound~~ according to claim 1, wherein the R group is ^athe 3,4 methylene dioxy phenyl group of the formula:



The compound

3. ~~Compound~~ according to claim 1 ~~or 2~~, wherein the X group is ~~preferably~~ a fluorine group attached to position 4 in the phenyl ring.

The compound

4. ~~Compound~~ according to claim 1-3, wherein the R² group represents a ~~C1-C4~~ alkyl group.

The compound

5. ~~Compound~~ according to ~~claims 1-4~~, wherein the R² group is a ~~C1-C2~~ alkyl group.

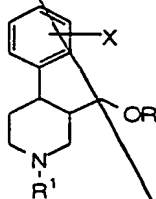
The compound

6. ~~Compound~~ according to ~~any of the previous~~ claims, having a solubility at about 20°C of at least about 10 mg per ml water.

The compound

7. ~~Compound~~ according to claim 6, having a solubility in water of at least 100, ~~preferably at least 500 and most preferably of at least 1000~~ mg per ml, ^{at about 20°C}

8. Process for preparing a compound according to any of the previous claims, comprising the steps of mixing together a compound, a salt and/or a base thereof, having the formula II:



Sub 22

wherein:

- R represents an alkyl or alkynyl group having 1-4 carbon atoms, or a phenyl group optionally substituted by C₁₋₄ alkyl, alkylthio, alkoxy, halogen, nitro, acylamino, methylsulfonyl or methylenedioxy, or represents tetrahydronaphthyl,

- R¹ represents hydrogen, trifluoro (C₁₋₄) alkyl, alkyl or alkynyl,

- X represents hydrogen, alkyl having 1-4 carbon atoms, alkoxy, trifluoroalkyl, hydroxy, halogen, methylthio or aralkoxy, with a sulfonic acid of the general formula R²-SO₃H, wherein R² represents:

- a C1-C10 alkyl group,

- a phenyl group optionally substituted by one

or more of the following groups:

- a C1-C10 alkyl group,

- a halogen group,

- a nitro group,

- hydroxy group,

- and/or an alkoxy group,

to form a solution, whereafter the solid formed may be separated out.

9. ~~Compound according to any of the claims 1-7.~~
produced by the process according to claim 8.

10. Compound according to any of the claims 1-7 and 9, for use as a medicament.

11. Medicament comprising a compound according to any of the claims 1-7, 9, 10 and pharmaceutically acceptable carriers/diluents.

12. Use of a compound according to any of the claims 1-7, 9, 10 for preparing a medicament.

13. Use of a compound according to any of the claims 1-7 for the manufacture of a medicament for treating depressions, obsessive compulsive disorders, panic disorders, bulimia, anorexia, pain, obesity, senile demential, migraine, anorexia, social phobia, depressions arising from pre-menstrual tension.

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Lab a3

14. Use of ~~a~~ compound according to any of the claims 1-7, 9, 10 as a reagent in further syntheses.

a 15. ~~Process~~ ^{a process} for providing a salt ~~ion or~~
a ~~solvate~~, comprising the steps of mixing together a
a 5 compound according to ~~any of the claims 1-7, 9 and 10~~ ^{Claim 1}
 with a reagent selected from the group consisting

a ~~essentially~~ of:

hydrochloric acid	citric acid
hydrobromic acid	embonic acid/pamoic acid
10 hydriodic acid	sulfuric acid
acetic acid	water
<i>a</i> propionic acid	methanol ^{and}
maleic acid	ethanol
fumaric acid	
15 oxalic acid	
succinic acid	
tartaric acid	

16. Salt obtainable by the process according to claim 15.

Sub a4 20 17. Salt according to claim 16, having a purity of at least 90 wt%, preferably at least 95 wt% and most preferably at least 98%.

18. Paroxetine maleate having a purity of at least 98%.

25 19. Paroxetine acetate having a purity of at least 98%.

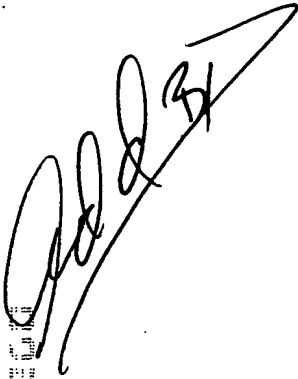
Sub a5 20. Process for providing a free base comprising the step of mixing together a compound according to any of the claims 1-7, 9, 10 with an organic
 30 and/or inorganic base.

a 21. ~~Process~~ ^{The process} according to claim 20, wherein the base is selected from the group consisting ~~essentially~~
a of: sodium hydroxide, potassium hydroxide, calcium hydroxide, ammonium hydroxide, sodium carbonate,
 35 methylamine, dimethylamine, triethylamine, pyridine.

Sub a6 22. A free base obtainable by the process according to claims 20 or 21, said free base having a purity of at least 95% and most preferably at least 98%.

23. Paroxetine free base according to claim 22,
having a purity of at least 98%.

add a⁷

A large, stylized handwritten signature or set of initials, possibly reading 'Add a⁷', written in dark ink. The signature is slanted and occupies the left side of the page.

Reference

- Psychopharmacology, 57, 151-153 (1978)]; ibid. 68, 229-233 (1980), European Journal of Pharmacology, 47, 351-358 (1978)]; in USP 4007196, the preparation of paroxetine maleate is reported.